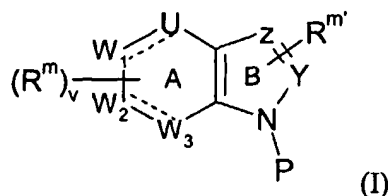


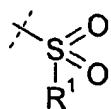
1. A compound of the Formula (I)



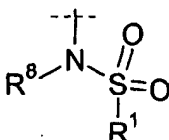
5

wherein:

v is 1 or 2 and P is selected from a substituent of Formula (II) and Formula (III);



(II)



(III)

- 10 or P may also be selected from H or C<sub>1-6</sub>-alkyl provided that R<sup>m</sup> is selected from -NHSO<sub>2</sub>R<sup>11</sup>, -SO<sub>2</sub>NR<sup>8</sup>R<sup>11</sup> or -S(O)<sub>e</sub>R<sup>11</sup>, wherein R<sup>11</sup> is selected from aryl and heteroaryl and where e is 0, 1, 2 or 3, v is 1 and R<sup>m</sup> is H;

- 15 represents a single bond or a double bond, with the proviso that both represent double bonds or that both represent single bonds; W<sub>1</sub>, W<sub>2</sub>, W<sub>3</sub>, Z and Y are each a carbon atom; or one of W<sub>1</sub>, W<sub>2</sub>, W<sub>3</sub>, Z and Y is a nitrogen atom, while the remainder being carbon atoms, provided that both in Formula (I) represent single bonds;

- 20 U is selected from CHR<sup>4</sup>, CR<sup>4</sup> and CR<sup>4</sup>R<sup>4'</sup>, provided that when the dotted line connecting W<sub>1</sub> and U is a double bond, then U is CR<sup>4</sup>; and further provided that when the dotted line connecting W<sub>1</sub> and U is a single bond, then U is selected from CHR<sup>4</sup> and CR<sup>4</sup>R<sup>4'</sup>;

R<sup>1</sup> is selected from:

- 25 (a) C<sub>1-6</sub>-alkyl,  
(b) C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkyl,

- (c) C<sub>3-6</sub>-alkenyl,
- (d) hydroxy-C<sub>1-6</sub>-alkyl,
- (e) halo-C<sub>1-6</sub>-alkyl,
- (f) aryl,
- 5 (g) arylcarbonylmethyl,
- (h) aryl-C<sub>2-6</sub>-alkenyl,
- (i) aryl-C<sub>1-6</sub>-alkyl,
- (j) C<sub>3-7</sub>-cycloalkyl,
- (k) heteroaryl,
- 10 (l) 4-piperidinyl,
- (m) N-substituted 4-piperidinyl, wherein the substituents are selected from C<sub>1-6</sub>-alkyl, aryl, heteroaryl, aryl-C<sub>1-6</sub>-alkyl and heteroaryl-C<sub>1-6</sub>-alkyl,
- (n) heteroaryl-C<sub>1-6</sub>-alkyl,
- wherein any heteroaryl or aryl residue, alone or as part of another group, is optionally
- 15 substituted, independently, in one or more positions with substituents having the values as defined for R<sup>m</sup> and R<sup>m'</sup>;

R<sup>m</sup> and R<sup>m'</sup> are each independently selected from:

- (a) hydrogen,
- 20 (b) halogen,
- (c) C<sub>1-6</sub>-alkyl,
- (d) hydroxy,
- (e) C<sub>1-6</sub>-alkoxy,
- (f) C<sub>2-6</sub>-alkenyl,
- 25 (g) phenyl,
- (h) phenoxy,
- (i) benzyloxy,
- (j) benzoyl,
- (k) -OCF<sub>3</sub>,
- 30 (l) -CN,
- (m) hydroxy-C<sub>1-6</sub>-alkyl,
- (n) halo-C<sub>1-6</sub>-alkyl,
- (o) -NR<sup>10</sup>R<sup>10</sup>,
- (p) -NO<sub>2</sub>,

- (q)  $-\text{CONR}^{10}\text{R}^{10}$ ,  
 (r)  $-\text{NHSO}_2\text{R}^{11}$ ,  
 (s)  $-\text{NR}^8\text{COR}^{11}$ ,  
 (t)  $-\text{SO}_2\text{NR}^8\text{R}^{11}$ ,  
 5 (u)  $-\text{C}(=\text{O})\text{R}^{11}$ ,  
 (v)  $\text{C}_{1-6}$ -alkoxycarbonyl,  
 (w)  $-\text{S}(\text{O})_e\text{R}^{11}$ , wherein  $e$  is 0, 1, 2 or 3,  
 (x)  $-\text{SCF}_3$ ,  
 (y)  $-\text{CHF}=\text{CH}_2$ ,  
 10 (aa)  $-\text{OCF}_2\text{H}$ , or  
 (ab) ethynyl;

and with the proviso that,  $\text{R}^m$  is attached to a carbon atom in ring B; and

with the further proviso that when one of  $\text{W}_1$ ,  $\text{W}_2$  and  $\text{W}_3$  in Formula (I) is a nitrogen atom

and both  $\text{-----}$  represent single bonds the said nitrogen atom is attached to  $\text{R}^m$ , wherein

- 15  $\text{R}^m$  is selected from hydrogen or  $\text{C}_{1-4}$ -alkyl and  $v$  is 1; and

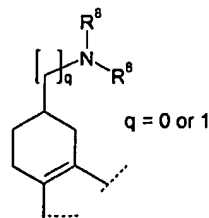
with the further proviso that when  $\text{W}_1$ ,  $\text{W}_2$  and  $\text{W}_3$  in Formula (I) are each a carbon atom

and both  $\text{-----}$  represent single bonds,  $\text{R}^m$  is selected from hydrogen or methyl; and

with the further proviso that when  $\text{R}^m$  or  $\text{R}^m$ , as substituents on ring A and B in Formula (I), are selected from phenyl, phenoxy, benzyloxy and benzoyl, the phenyl or aryl ring

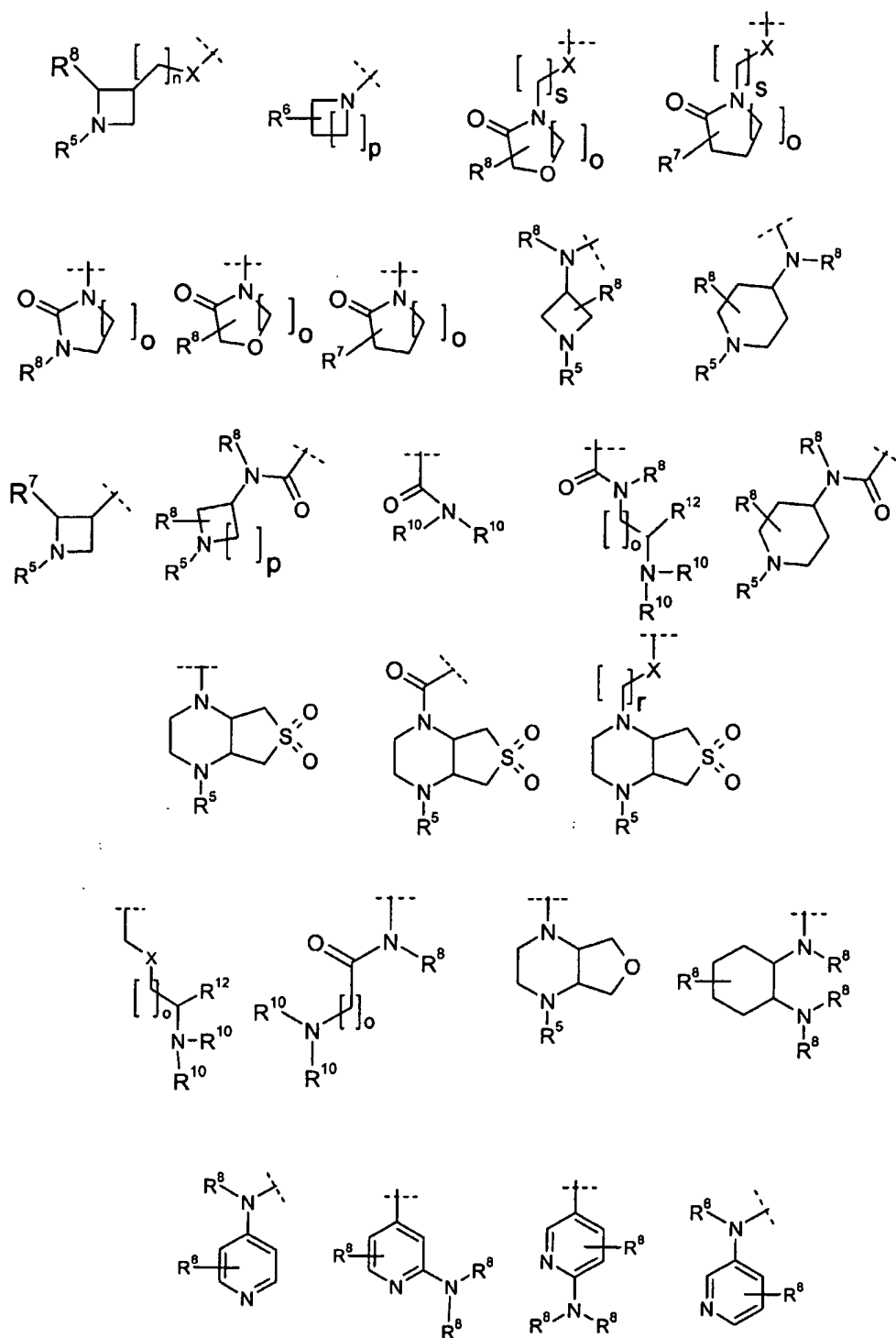
- 20 thereof may be optionally substituted by  $\text{C}_{1-4}$ -alkyl, halogen,  $\text{C}_{1-4}$ -alkoxy,  $\text{C}_{1-4}$ -alkylthio, trifluoromethyl, hydroxymethyl or cyano;

wherein  $\text{R}^m$  and  $\text{R}^4$  may be linked to each other to form a fused substituent of Formula (IV) provided that  $\text{R}^m$  is attached to  $\text{W}_1$ :



(IV)

when  $\text{U}$  is  $\text{CR}^4$  or  $\text{CHR}^4$ ,  $\text{R}^4$  is a group selected from:



wherein:

$n = 0, 1, \text{ or } 2,$

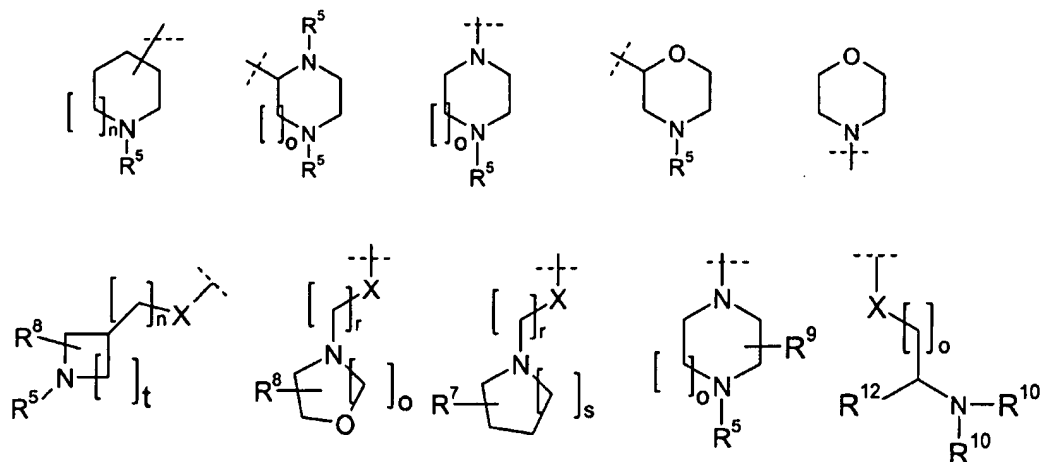
$o = 1 \text{ or } 2,$

$p = 1, 2, 3, \text{ or } 4,$

$r = 2 \text{ or } 3,$

$s = 1, 2 \text{ or } 3;$

when U is  $\text{CHR}^4$ ,  $\text{R}^4$  is additionally selected from the following groups:



5 wherein:

$n = 0, 1 \text{ or } 2,$

$o = 1 \text{ or } 2,$

$t = 2, 3 \text{ or } 4,$

$r = 2 \text{ or } 3,$

10  $s = 1, 2 \text{ or } 3;$

wherein X is selected from O,  $\text{NR}^8$  and S;

wherein  $\text{R}^5$  is independently a group selected from:

15 (a) hydrogen,

(b)  $\text{C}_{1-6}$ -alkyl,

(c) 2-cyanoethyl,

(d) hydroxy- $\text{C}_{2-6}$ -alkyl,

(e)  $\text{C}_{3-6}$ -alkenyl,

20 (f)  $\text{C}_{3-6}$ -alkynyl,

(g)  $\text{C}_{3-7}$ -cycloalkyl,

(h)  $\text{C}_{3-7}$ -cycloalkyl- $\text{C}_{1-4}$ -alkyl,

(i)  $\text{C}_{1-6}$ -alkoxy- $\text{C}_{2-6}$ -alkyl,

(j) aryl- $\text{C}_{1-6}$ -alkyl,

25 (k) heteroaryl- $\text{C}_{1-6}$ -alkyl,

(l) 3,3,3-trifluoropropyl,  
wherein any aryl and heteroaryl residue may be optionally substituted with C<sub>1-4</sub>-alkyl,  
halogen, C<sub>1-4</sub>-alkoxy, C<sub>1-4</sub>-alkylthio, trifluoromethyl or cyano;

- 5 R<sup>6</sup> is selected from:
- (a) hydrogen,
  - (b) C<sub>1-4</sub>-alkyl,
  - (c) hydroxy-C<sub>1-4</sub>-alkyl,
  - (d) C<sub>1-4</sub>-alkoxy-C<sub>1-4</sub>-alkyl,
  - 10 (e) halo-C<sub>1-4</sub>-alkyl,
  - (f) -NR<sup>8</sup>R<sup>8</sup>, provided that the said -NR<sup>8</sup>R<sup>8</sup> group is not attached to a carbon atom adjacent to a ring nitrogen atom,
  - (g) -CO-NR<sup>8</sup>R<sup>8</sup>;
  - (h) hydroxy, provided that the said hydroxy group is not attached to a carbon atom adjacent
  - 15 to a ring nitrogen atom;

R<sup>7</sup> is selected from:

- (a) hydrogen,
- (b) C<sub>1-4</sub>-alkyl,
- 20 (c) hydroxy-C<sub>1-4</sub>-alkyl, or
- (d) C<sub>1-4</sub>-alkoxy-C<sub>1-4</sub>-alkyl,
- (e) hydroxy, provided that the said hydroxy group is not attached to a carbon atom adjacent to a heterocyclic ring nitrogen atom and that the said hydroxy group is attached to a heterocyclic ring not substituted with oxo;

25

R<sup>8</sup> is each independently selected from:

- (a) hydrogen, or
- (b) C<sub>1-6</sub>-alkyl,

30 R<sup>9</sup> is selected from:

- (a) hydrogen,
- (b) C<sub>1-4</sub>-alkyl, wherein one or two groups may be present at any carbon atom, or when two groups are present at the same carbon atom they may together form a cyclopropane ring,
- (c) hydroxy-C<sub>1-4</sub>-alkyl,

- (d) C<sub>1-4</sub>-alkoxy-C<sub>1-4</sub>-alkyl,
- (e) halo-C<sub>1-4</sub>-alkyl,

R<sup>10</sup> is each independently selected from:

- 5 (a) hydrogen,
  - (b) C<sub>1-6</sub>-alkyl,
  - (c) hydroxy-C<sub>2-4</sub>-alkyl,
  - (d) C<sub>3-7</sub>-cycloalkyl, or
  - (e) C<sub>1-4</sub>-alkoxy-C<sub>2-4</sub>-alkyl,
- 10 wherein the two R<sup>10</sup> groups together with the nitrogen to which they are attached form a heterocyclic ring; and when the two R<sup>10</sup> groups form a piperazine ring, the nitrogen of the piperazine ring that allows the substitution may be substituted with a group selected from R<sup>5</sup>;
- 15 R<sup>11</sup> is selected from:
- (a) C<sub>1-6</sub>-alkyl,
  - (b) aryl, or
  - (c) heteroaryl,
- wherein aryl and heteroaryl may be optionally substituted with C<sub>1-4</sub>-alkyl, halogen, C<sub>1-4</sub>-
- 20 alkoxy, C<sub>1-4</sub>-alkylthio, trifluoromethyl, hydroxymethyl or cyano;

R<sup>12</sup> is selected from:

- (a) hydrogen, or
- (b) methyl;

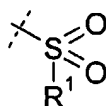
25

when U is R<sup>4</sup>R<sup>4'</sup>, R<sup>4</sup> and R<sup>4'</sup> are linked to each other to form a heterocyclic ring selected from pyrrolidine or piperidine, wherein the N atom may be substituted by a group selected from R<sup>5</sup>; and pharmaceutically acceptable salts, hydrates, solvates, geometrical isomers, tautomers, optical isomers, and prodrug forms thereof.

30

2. The compound according to claim 1, wherein

P is selected from



(II)

each of  $W_1$ ,  $W_2$ ,  $W_3$ ,  $Z$  and  $Y$  is a carbon atom provided that both  $\text{-----}$  in Formula (I) represent double bonds; or

one of  $W_1$ ,  $W_2$ ,  $W_3$ ,  $Z$  and  $Y$  is a nitrogen atom, while the remainder being carbon atoms,

5 provided that both  $\text{-----}$  in Formula (I) represent single bonds;

$U$  is selected from  $\text{CHR}^4$ ,  $\text{CR}^4$  and  $\text{CR}^4\text{R}^{4'}$ , provided that when the dotted line connecting  $W_1$  and  $U$  is a double bond, then  $U$  is  $\text{CR}^4$ ; and further provided that when the dotted line connecting  $W_1$  and  $U$  is a single bond, then  $U$  is selected from  $\text{CHR}^4$  and  $\text{CR}^4\text{R}^{4'}$ ;

10

$R^1$  is selected from:

(f) aryl,

(h) aryl- $\text{C}_{2-6}$ -alkenyl,

(i) aryl- $\text{C}_{1-6}$ -alkyl,

15 (j)  $\text{C}_{3-7}$ -cycloalkyl,

(k) heteroaryl,

(n) heteroaryl- $\text{C}_{1-6}$ -alkyl,

wherein any heteroaryl or aryl residue, alone or as part of another group, is optionally substituted, independently, in one or more positions with substituents having the values as

20 defined for  $R^m$  and  $R^{m'}$ ;

$R^m$  and  $R^{m'}$  are each independently selected from:

(a) hydrogen,

(b) halogen,

25 (c)  $\text{C}_{1-6}$ -alkyl,

(d) hydroxy,

(e)  $\text{C}_{1-6}$ -alkoxy,

(f)  $\text{C}_{2-6}$ -alkenyl,

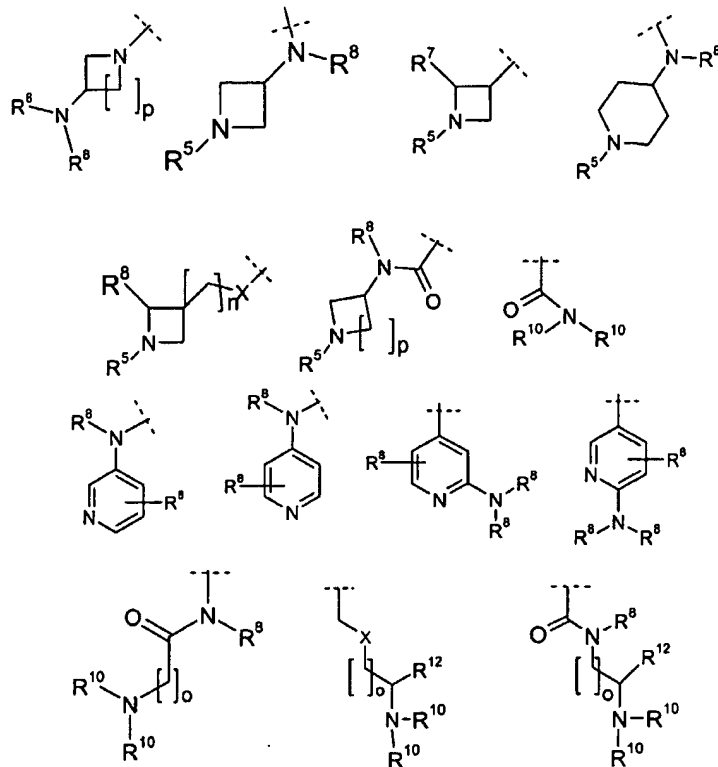
(k)  $-\text{OCF}_3$ ,

30 (l)  $-\text{CN}$ ,



- (m) hydroxy-C<sub>1-6</sub>-alkyl,  
 (n) halo-C<sub>1-6</sub>-alkyl,  
 (o) -NR<sup>10</sup>R<sup>10</sup>,  
 (q) -CONR<sup>10</sup>R<sup>10</sup>,  
 5 (r) -NHSO<sub>2</sub>R<sup>11</sup>,  
 (s) -NR<sup>8</sup>COR<sup>11</sup>,  
 (t) -SO<sub>2</sub>NR<sup>8</sup>R<sup>11</sup>,  
 (u) -C(=O)R<sup>11</sup>,  
 (w) -S(O)<sub>e</sub>R<sup>11</sup>, wherein e is 0, 1, 2 or 3,  
 10 (x) -SCF<sub>3</sub>,  
 (y) -CHF=CH<sub>2</sub>,  
 (aa) -OCF<sub>2</sub>H, or  
 (ab) ethynyl;
- 15 and with the proviso that, R<sup>m'</sup> is attached to a carbon atom in ring B; and  
 with the further proviso that when one of W<sub>1</sub>, W<sub>2</sub> and W<sub>3</sub> in Formula (I) is a nitrogen atom  
 and both  $\text{-----}$  represent single bonds the said nitrogen atom is attached to R<sup>m</sup>, wherein  
 R<sup>m</sup> is selected from hydrogen or C<sub>1-4</sub>-alkyl and v is 1; and  
 with the further proviso that when W<sub>1</sub>, W<sub>2</sub> and W<sub>3</sub> in Formula (I) are each a carbon atom  
 20 and both  $\text{-----}$  represent single bonds, R<sup>m</sup> is selected from hydrogen or methyl; and  
 with the further proviso that when R<sup>m</sup> and R<sup>m'</sup> are substituents on ring A and B, then R<sup>m</sup>  
 and R<sup>m'</sup> are independently selected from: hydrogen, halogen, methyl, methoxy,  
 trifluoromethyl, hydroxymethyl or cyano;
- 25 when U is CR<sup>4</sup> or CHR<sup>4</sup>, R<sup>4</sup> is a group selected from:

51



wherein

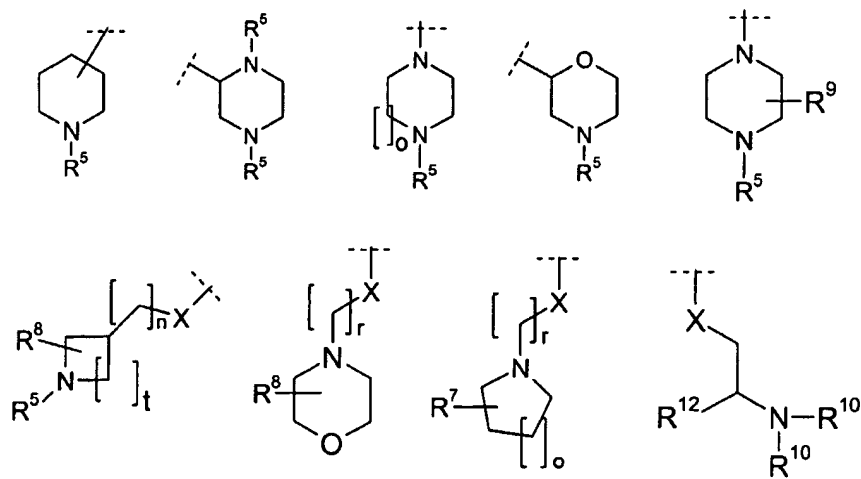
$n = 0, 1, \text{ or } 2,$

$o = 1 \text{ or } 2,$

5

$p = 1, 2, 3, \text{ or } 4,$

when U is  $\text{CHR}^4$ ,  $\text{R}^4$  is additionally selected from the following groups:



wherein :

10

$n = 0, 1 \text{ or } 2,$

$o = 1$  or  $2$ ,

$t = 2, 3$  or  $4$ ,

$r = 2$  or  $3$ ,

wherein  $X$  is selected from  $O$  and  $NR^8$ ;

5

wherein  $R^5$  is independently a group selected from:

(a) hydrogen,

(b)  $C_{1-6}$ -alkyl,

(c) 2-cyanoethyl,

10 (d) hydroxy- $C_{2-4}$ -alkyl,

(e)  $C_{3-6}$ -alkenyl,

(h)  $C_{3-7}$ -cycloalkyl- $C_{1-4}$ -alkyl, or

(i)  $C_{1-4}$ -alkoxy- $C_{2-4}$ -alkyl,

15  $R^7$  is selected from:

(a) hydrogen,

(b)  $C_{1-4}$ -alkyl,

(c) hydroxy- $C_{1-2}$ -alkyl, or

(d)  $C_{1-2}$ -alkoxy- $C_{1-2}$ -alkyl;

20

$R^8$  is each independently selected from:

(a) hydrogen, or

(b)  $C_{1-6}$ -alkyl,

25  $R^9$  is selected from:

(a) hydrogen,

(b)  $C_{1-4}$ -alkyl, wherein one or two groups may be present at any carbon atom, or when two groups are present at the same carbon atom they may together form a cyclopropane ring,

(c) hydroxy- $C_{1-2}$ -alkyl,

30 (d)  $C_{1-2}$ -alkoxy- $C_{1-2}$ -alkyl,

(e) halo- $C_{1-2}$ -alkyl,

$R^{10}$  is each independently selected from:

(a) hydrogen,

(b) C<sub>1-4</sub>-alkyl,

(c) hydroxy-C<sub>2-4</sub>-alkyl

- wherein the two R<sup>10</sup> groups together with the nitrogen to which they are attached form a heterocyclic ring; and when the two R<sup>10</sup> groups form a piperazine ring, the nitrogen of the piperazine ring that allows the substitution may be substituted with a group selected from R<sup>5</sup>;

R<sup>11</sup> is selected from:

(a) C<sub>1-4</sub>-alkyl

10

R<sup>12</sup> is selected from:

(a) hydrogen, or

(b) methyl;

- 15 when U is R<sup>4</sup>R<sup>4'</sup>, R<sup>4</sup> and R<sup>4'</sup> are linked to each other to form a heterocyclic ring selected from pyrrolidine or piperidine, wherein the N atom may be substituted by a group R<sup>5</sup> selected from:

(a) hydrogen,

(b) C<sub>1-4</sub>-alkyl,

- 20 (d) hydroxy-C<sub>2-4</sub>-alkyl,

(i) C<sub>1-4</sub>-alkoxy-C<sub>2-4</sub>-alkyl,

(k) 2-cyanoethyl.

3. The compound according to claim 1 or 2, wherein

- 25 Ar is selected from phenyl, naphthyl, and thienyl, which group Ar is optionally substituted by halogen, methyl, methoxy.

4. The compound according to any one of claims 1 to 3, which is selected from

- 4'-Methyl-1'-(2-naphthylsulphonyl)-1',4',5',6'-tetrahydrospiro {piperidine-2,7'-pyrrolo[3,2-b]pyridine} hydrochloride,
- 30 4'-Methyl-1'-(4-bromophenylsulphonyl)-1',4',5',6'-tetrahydrospiro {piperidine-2,7'-pyrrolo[3,2-b]pyridine} hydrochloride,

4'-Methyl-1'-(5-bromo-2-thienylsulphonyl)-1',4',5',6'-tetrahydrospiro {piperidine-2,7'-pyrrolo[3,2-b]pyridine} hydrochloride,

4'-Methyl-1'-(2-thienylsulphonyl)-1',4',5',6'-tetrahydrospiro {piperidine-2,7'-pyrrolo[3,2-b]pyridine} hydrochloride

5 N-(1-Benzenesulfonyl-1H-indol-4-yl)-2-(2-hydroxy-ethylamino)-acetamide, and

1-Benzenesulfonyl-1H-indol-4-yl)-pyridin-4-yl-amine,

N-(4-Piperazin-1-yl-1H-indol-1-yl)benzenesulfonamide hydrochloride, and

3-(Phenylsulfonyl)-6,7,8,9-tetrahydro-3H-benzo[e]indol-8-amine trifluoroacetate.

10 5. A process for the preparation of a compound according to claim 1, comprising the following steps:

(a) reaction of 2-(2-ethylamino)pyrrole and 1-methylpiperazine-4-one to give 4'-methyl-1',4',5',6'-tetrahydrospiro {piperidine-2,7'-pyrrolo[3,2-b]pyridine}; and

15 (b) reaction of the product from step a) with an arylsulphonyl chloride in the presence of a base.

6. A process for the preparation of a compound according to claim 1, comprising the following steps:

20 (c) reaction of 1-benzensulfonyl-1H-indol-4-ylamine and bromoacetyl bromide and further reaction with ethanolamine.

7. A process for the preparation of a compound according to claim 1, comprising the following steps:

25 (d) reductive amination of 3-(toluene-4-sulfonyl)-6,9-dihydro-3H, 7H-benzo[e]indol-8-one in the presence of sodium cyanoborohydride and ammonium acetate.

8. A compound according to any one of claims 1 to 4 for use in therapy.

9. A compound according to any one of claims 1 to 4 for use in the treatment or  
30 prophylaxis of a 5-HT<sub>6</sub> receptor-related disorder, to achieve reduction of body weight and of body weight gain.

10. A compound according to claim 9, wherein the disorder is selected from obesity; type II diabetes; disorders of the central nervous system such as anxiety, depression, panic attacks, memory disorders, cognitive disorders, epilepsy, sleep disorders, migraine, anorexia, bulimia, binge eating disorders, obsessive compulsive disorders, psychoses,
- 5 Alzheimer's disease, Parkinson's disease, Huntington's chorea, schizophrenia, attention deficit hyperactive disorder, withdrawal from drug abuse, neurodegenerative diseases characterized by impaired neuronal growth, and pain.
11. A pharmaceutical formulation comprising a compound according to any one of claims
- 10 1 to 4 as active ingredient, in combination with a pharmaceutically acceptable diluent or carrier.
12. The pharmaceutical formulation according to claim 11 for use in the prophylaxis or treatment of a 5-HT<sub>6</sub> receptor-related disorder, to achieve reduction of body weight and of
- 15 body weight gain.
13. The pharmaceutical formulation according to any one of claim 11 or 12, wherein the disorder is selected from obesity; type II diabetes; disorders of the central nervous system such as anxiety, depression, panic attacks, memory disorders, cognitive disorders, epilepsy,
- 20 sleep disorders, migraine, anorexia, bulimia, binge eating disorders, obsessive compulsive disorders, psychoses, Alzheimer's disease, Parkinson's disease, Huntington's chorea, schizophrenia, attention deficit hyperactive disorder, withdrawal from drug abuse, neurodegenerative diseases characterized by impaired neuronal growth, and pain.
- 25 14. A method for the prophylaxis or treatment of a 5-HT<sub>6</sub> receptor-related disorder, to achieve reduction of body weight and of body weight gain, which comprises administering to a subject in need of such treatment an effective amount of a compound according to any one of claims 1 to 4.
- 30 15. The method according to claim 14, wherein the disorder is selected from obesity; type II diabetes; disorders of the central nervous system such as anxiety, depression, panic attacks, memory disorders, cognitive disorders, epilepsy, sleep disorders, migraine, anorexia, bulimia, binge eating disorders, obsessive compulsive disorders, psychoses,

Alzheimer's disease, Parkinson's disease, Huntington's chorea, schizophrenia, attention deficit hyperactive disorder, withdrawal from drug abuse, neurodegenerative diseases characterized by impaired neuronal growth, and pain.

- 5 16. A method for modulating 5-HT<sub>6</sub> receptor activity, which comprises administering to a subject in need of such treatment an effective amount of a compound according to any one of claims 1 to 4.
- 10 17. Use of a compound according to any one of claims 1 to 4 for the manufacture of a medicament for use in the prophylaxis or treatment of a 5-HT<sub>6</sub> receptor-related disorder, to achieve reduction of body weight and of body weight gain.
- 15 18. The use according to claim 17, wherein the disorder is selected from obesity; type II diabetes; disorders of the central nervous system such as anxiety, depression, panic attacks, memory disorders, cognitive disorders, epilepsy, sleep disorders, migraine, anorexia, bulimia, binge eating disorders, obsessive compulsive disorders, psychoses, Alzheimer's disease, Parkinson's disease, Huntington's chorea, schizophrenia, attention deficit hyperactive disorder, withdrawal from drug abuse, neurodegenerative diseases characterized by impaired neuronal growth, and pain.
- 20 19. A cosmetic composition comprising a compound according to any one of claims 1 to 4 as active ingredient, in combination with a cosmetically acceptable diluent or carrier.
- 25 20. The cosmetic composition according to claim 19 for use in the prophylaxis or treatment of a 5-HT<sub>6</sub> receptor-related disorder, to achieve reduction of body weight and of body weight gain.